

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization  
International Bureau



(43) International Publication Date  
5 April 2001 (05.04.2001)

PCT

(10) International Publication Number  
**WO 01/23374 A1**

(51) International Patent Classification<sup>7</sup>: C07D 401/10,  
413/10, 209/08, 403/10, 401/14, 401/12, A61P 25/24

Science Park South, Third Avenue, Harlow, Essex CM19  
5AW (GB).

(21) International Application Number: PCT/EP00/09442

(74) Agent: WATERS, David, Martin; Corporate Intellectual  
Property, SmithKline Beecham, Two New Horizons Court,  
Brentford, Middlesex TW8 9EP (GB).

(22) International Filing Date:  
21 September 2000 (21.09.2000)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
9922831.4 25 September 1999 (25.09.1999) GB  
0001936.4 27 January 2000 (27.01.2000) GB  
0013873.5 7 June 2000 (07.06.2000) GB

(81) Designated States (*national*): AE, AG, AL, AM, AT, AU,  
AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ,  
DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,  
HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,  
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ,  
NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM,  
TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW.

(84) Designated States (*regional*): ARIPO patent (GH, GM,  
KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW), Eurasian  
patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European  
patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE,  
IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG,  
CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).

(71) Applicant (*for all designated States except US*):  
SMITHKLINE BEECHAM P.L.C. [GB/GB]; New  
Horizons Court, Brentford, Middlesex TW8 9EP (GB).

(72) Inventors; and

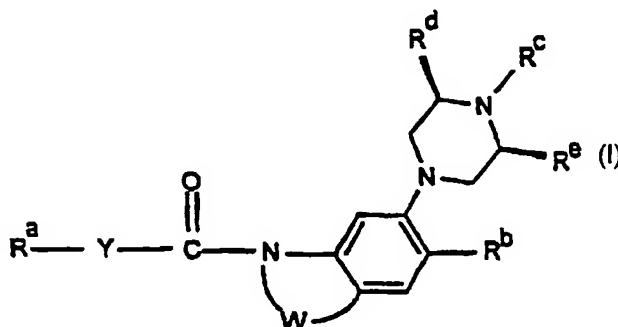
(75) Inventors/Applicants (*for US only*): MARSHALL,  
Howard [GB/GB]; SmithKline Beecham Pharmaceuti-  
cals, New Frontiers Science Park South, Third Avenue,  
Harlow, Essex CM19 5AW (GB). THOMPSON, Mervyn  
[GB/GB]; SmithKline Beecham Pharmaceuticals, New  
Frontiers Science Park South, Third Avenue, Harlow, Es-  
sex CM19 5AW (GB). WYMAN, Paul, Adrian [GB/GB];  
SmithKline Beecham Pharmaceuticals, New Frontiers

**Published:**

- With international search report.
- Before the expiration of the time limit for amending the  
claims and to be republished in the event of receipt of  
amendments.

*For two-letter codes and other abbreviations, refer to the "Guid-  
ance Notes on Codes and Abbreviations" appearing at the begin-  
ning of each regular issue of the PCT Gazette.*

(54) Title: PIPERAZINE DERIVATIVES AS 5-HT<sub>1B</sub> ANTAGONISTS



(57) Abstract: Piperazine derivatives of formula  
(I) processes for their preparation, pharmaceutical  
compositions containing them and to their use in  
therapy as 5-HT<sub>1B</sub> antagonists. W, Y, R<sup>a</sup>-R<sup>e</sup> are so defined  
in the application.

WO 01/23374 A1